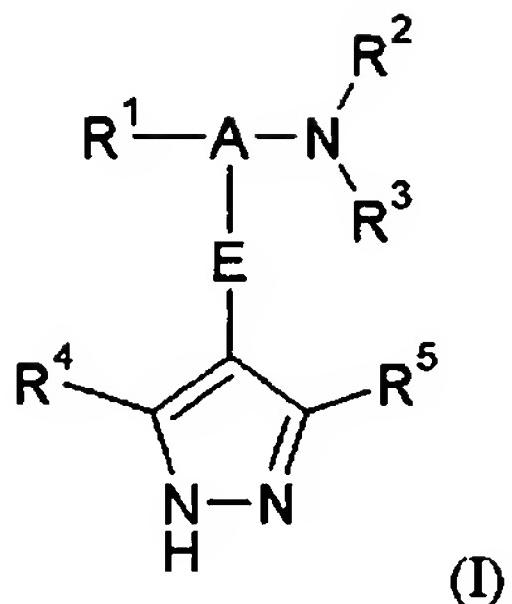


CLAIMS

1. A compound of the formula (I):



or a salt, solvate, tautomer or N-oxide thereof;

5       wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R<sup>1</sup> and NR<sup>2</sup>R<sup>3</sup> and a maximum chain length of 4 atoms extending between E and NR<sup>2</sup>R<sup>3</sup>, wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and  
10      wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom  $\alpha$  with respect to the NR<sup>2</sup>R<sup>3</sup> group and provided that the oxo group when present is located at a carbon atom  $\alpha$  with respect to the NR<sup>2</sup>R<sup>3</sup> group;

15      E is a monocyclic or bicyclic carbocyclic or heterocyclic group;

        R<sup>1</sup> is an aryl or heteroaryl group;

        R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen, C<sub>1-4</sub> hydrocarbyl and C<sub>1-4</sub> acyl wherein the hydrocarbyl and acyl moieties are optionally substituted by one or more substituents selected from fluorine, hydroxy, amino, methylamino, dimethylamino and methoxy;

20      or R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom to which they are attached form a cyclic group selected from an imidazole group and a saturated monocyclic heterocyclic group having 4-7 ring members and

optionally containing a second heteroatom ring member selected from O and N;

5 or one of R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or NR<sup>2</sup>R<sup>3</sup> and the carbon atom of linker group A to which it is attached together form a cyano group;

10 R<sup>4</sup> is selected from hydrogen, halogen, C<sub>1-5</sub> saturated hydrocarbyl, C<sub>1-5</sub> saturated hydrocarbyloxy, cyano, and CF<sub>3</sub>; and

R<sup>5</sup> is selected from hydrogen, halogen, C<sub>1-5</sub> saturated hydrocarbyl, C<sub>1-5</sub> saturated hydrocarbyloxy, cyano, CONH<sub>2</sub>, CONHR<sup>9</sup>, CF<sub>3</sub>, NH<sub>2</sub>, NHCOR<sup>9</sup> or NHCONHR<sup>9</sup>;

15 R<sup>9</sup> is a group R<sup>9a</sup> or (CH<sub>2</sub>)R<sup>9a</sup>, wherein R<sup>9a</sup> is a monocyclic or bicyclic group which may be carbocyclic or heterocyclic;

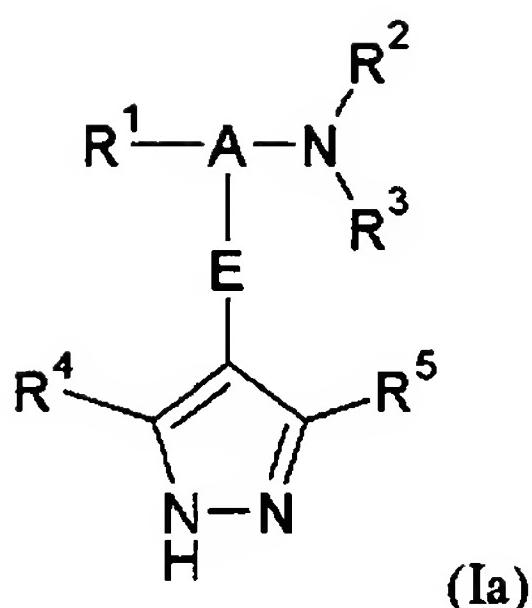
the carbocyclic group or heterocyclic group R<sup>9a</sup> being optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di-C<sub>1-4</sub>

20 hydrocarbylamino; a group R<sup>a</sup>-R<sup>b</sup> wherein R<sup>a</sup> is a bond, O, CO, X<sup>1</sup>C(X<sup>2</sup>), C(X<sup>2</sup>)X<sup>1</sup>, X<sup>1</sup>C(X<sup>2</sup>)X<sup>1</sup>, S, SO, SO<sub>2</sub>, NR<sup>c</sup>, SO<sub>2</sub>NR<sup>c</sup> or NR<sup>c</sup>SO<sub>2</sub>; and R<sup>b</sup> is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a C<sub>1-8</sub> hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di-C<sub>1-4</sub> hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C<sub>1-8</sub> hydrocarbyl group may optionally be replaced by O, S, SO, SO<sub>2</sub>, NR<sup>c</sup>, X<sup>1</sup>C(X<sup>2</sup>), C(X<sup>2</sup>)X<sup>1</sup> or X<sup>1</sup>C(X<sup>2</sup>)X<sup>1</sup>;

25 R<sup>c</sup> is selected from hydrogen and C<sub>1-4</sub> hydrocarbyl; and

30 X<sup>1</sup> is O, S or NR<sup>c</sup> and X<sup>2</sup> is =O, =S or =NR<sup>c</sup>.

2. A compound according to claim 1 of the formula (Ia):



or a salt, solvate, tautomer or N-oxide thereof;

wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between R<sup>1</sup> and NR<sup>2</sup>R<sup>3</sup> and a maximum chain length of 4 atoms extending between E and NR<sup>2</sup>R<sup>3</sup>, wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from oxo, fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom  $\alpha$  with respect to the NR<sup>2</sup>R<sup>3</sup> group and provided that the oxo group when present is located at a carbon atom  $\alpha$  with respect to the NR<sup>2</sup>R<sup>3</sup> group;

E is a monocyclic or bicyclic carbocyclic or heterocyclic group;

R<sup>1</sup> is an aryl or heteroaryl group;

R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen, C<sub>1-4</sub>

hydrocarbyl and C<sub>1-4</sub> acyl;

or R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom to which they are attached form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or one of R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom to which they are attached and one or more atoms from the linker group A form a saturated monocyclic heterocyclic group having 4-7 ring members and optionally containing a second heteroatom ring member selected from O and N;

or  $\text{NR}^2\text{R}^3$  and the carbon atom of linker group A to which it is attached together form a cyano group;

$\text{R}^4$  is selected from hydrogen, halogen,  $\text{C}_{1-5}$  saturated hydrocarbyl, cyano and  $\text{CF}_3$ ; and

5        $\text{R}^5$  is selected from hydrogen, halogen,  $\text{C}_{1-5}$  saturated hydrocarbyl, cyano,  $\text{CONH}_2$ ,  $\text{CONHR}^9$ ,  $\text{CF}_3$ ,  $\text{NH}_2$ ,  $\text{NHCOR}^9$  or  $\text{NHCONHR}^9$ ;

10       $\text{R}^9$  is phenyl or benzyl each optionally substituted by one or more substituents selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di- $\text{C}_{1-4}$  hydrocarbylamino; a group  $\text{R}^a\text{-R}^b$  wherein  $\text{R}^a$  is a bond, O, CO,  $\text{X}^1\text{C}(\text{X}^2)$ ,  $\text{C}(\text{X}^2)\text{X}^1$ ,  $\text{X}^1\text{C}(\text{X}^2)\text{X}^1$ , S, SO,  $\text{SO}_2$ ,  $\text{NR}^c$ ,  $\text{SO}_2\text{NR}^c$  or  $\text{NR}^c\text{SO}_2$ ; and  $\text{R}^b$  is selected from hydrogen, heterocyclic groups having from 3 to 12 ring members, and a  $\text{C}_{1-8}$  hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di- $\text{C}_{1-4}$  hydrocarbylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the  $\text{C}_{1-8}$  hydrocarbyl group may optionally be replaced by O, S, SO,  $\text{SO}_2$ ,  $\text{NR}^c$ ,  $\text{X}^1\text{C}(\text{X}^2)$ ,  $\text{C}(\text{X}^2)\text{X}^1$  or  $\text{X}^1\text{C}(\text{X}^2)\text{X}^1$ ;

15       $\text{R}^c$  is selected from hydrogen and  $\text{C}_{1-4}$  hydrocarbyl; and

20       $\text{X}^1$  is O, S or  $\text{NR}^c$  and  $\text{X}^2$  is =O, =S or = $\text{NR}^c$ .

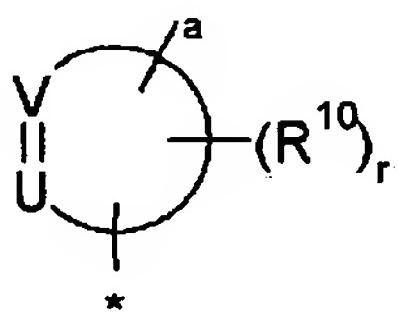
3.      A compound according to claim 1 or claim 2 wherein A is a saturated hydrocarbon linker group containing from 1 to 7 carbon atoms, the linker group having a maximum chain length of 5 atoms extending between  $\text{R}^1$  and  $\text{NR}^2\text{R}^3$  and a maximum chain length of 4 atoms extending between E and  $\text{NR}^2\text{R}^3$ , wherein one of the carbon atoms in the linker group may optionally be replaced by an oxygen or nitrogen atom; and wherein the carbon atoms of the linker group A may optionally bear one or more substituents selected from fluorine and hydroxy, provided that the hydroxy group when present is not located at a carbon atom  $\alpha$  with respect to the  $\text{NR}^2\text{R}^3$  group; and
- 25       $\text{R}^5$  is selected from selected from hydrogen, halogen,  $\text{C}_{1-5}$  saturated hydrocarbyl, cyano,  $\text{CONH}_2$ ,  $\text{CF}_3$ ,  $\text{NH}_2$ ,  $\text{NHCOR}^9$  and  $\text{NHCONHR}^9$ .

4. A compound according to any one of claims 1 to 3 wherein the linker group A has a maximum chain length of 3 atoms (more preferably 1 or 2 atoms, and most preferably 2 atoms) extending between R<sup>1</sup> and NR<sup>2</sup>R<sup>3</sup>.
5. A compound according to any one of claims 1 to 4 wherein the linker group A has a maximum chain length of 3 atoms extending between E and NR<sup>2</sup>R<sup>3</sup>.
6. A compound according to claim 5 wherein the linker group A has a chain length of 2 or 3 atoms extending between R<sup>1</sup> and NR<sup>2</sup>R<sup>3</sup> and a chain length of 2 or 3 atoms extending between E and NR<sup>2</sup>R<sup>3</sup>.
7. A compound according to any one of the preceding claims wherein the linker group atom linked directly to the group E is a carbon atom and the linker group A has an all-carbon skeleton.
8. A compound according to any one of claims 1 to 6 wherein the portion R<sup>1</sup>-A-NR<sup>2</sup>R<sup>3</sup> of the compound is represented by the formula R<sup>1</sup>-(G)<sub>k</sub>-(CH<sub>2</sub>)<sub>m</sub>-W-O<sub>b</sub>-(CH<sub>2</sub>)<sub>n</sub>-(CR<sup>6</sup>R<sup>7</sup>)<sub>p</sub>-NR<sup>2</sup>R<sup>3</sup> wherein G is NH, NMe or O; W is attached to the group E and is selected from (CH<sub>2</sub>)<sub>j</sub>-CR<sup>20</sup>, (CH<sub>2</sub>)<sub>j</sub>-N and (NH)<sub>j</sub>-CH; b is 0 or 1, j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2, or 3 and p is 0 or 1; the sum of b and k is 0 or 1; the sum of j, k, m, n and p does not exceed 4; R<sup>6</sup> and R<sup>7</sup> are the same or different and are selected from methyl and ethyl, or CR<sup>6</sup>R<sup>7</sup> forms a cyclopropyl group; and R<sup>20</sup> is selected from hydrogen, methyl, hydroxy and fluorine.
9. A compound according to any one of claims 1 to 6 wherein the moiety R<sup>1</sup>-A-NR<sup>2</sup>R<sup>3</sup> is represented by the formula R<sup>1</sup>-(G)<sub>k</sub>-(CH<sub>2</sub>)<sub>m</sub>-X-(CH<sub>2</sub>)<sub>n</sub>-(CR<sup>6</sup>R<sup>7</sup>)<sub>p</sub>-NR<sup>2</sup>R<sup>3</sup> wherein G is NH, NMe or O; X is attached to the group E and is selected from (CH<sub>2</sub>)<sub>j</sub>-CH, (CH<sub>2</sub>)<sub>j</sub>-N and (NH)<sub>j</sub>-CH; j is 0 or 1, k is 0 or 1, m is 0 or 1, n is 0, 1, 2, or 3 and p is 0 or 1, and the sum of j, k, m, n and p does not exceed 4; and R<sup>6</sup> and R<sup>7</sup> are the same or different and are selected from methyl and ethyl, or CR<sup>6</sup>R<sup>7</sup> forms a cyclopropyl group.

10. A compound according to claim 9 wherein k is 0, m is 0 or 1, n is 0, 1,2 or 3 and p is 0.
11. A compound according to claim 9 wherein k is 0, m is 0 or 1, n is 0, 1 or 2 and p is 1.
- 5 12. A compound according to claim 9 wherein X is  $(CH_2)_j\text{-CH}$ , k is 1, m is 0, n is 0, 1,2 or 3 and p is 0.
13. A compound according to claim 9 wherein X is  $(CH_2)_j\text{-CH}$ , k is 1, m is 0, n is 0, 1 or 2 and p is 1.
14. A compound according to any one of claims 9, 12 and 13 wherein j is 0.
- 10 15. A compound according to any one of claims 9, 12 and 13 wherein j is 1.
16. A compound according to any one of claims 9, 12 and 13 wherein  $CR^6R^7$  is  $C(CH_3)_2$ .
- 15 17. A compound according to claim 9 wherein the portion  $R^1\text{-A-NR}^2R^3$  of the compound is represented by the formula  $R^1\text{-X-}(CH_2)_n\text{-NR}^2R^3$  where X is attached to the group E and is a group  $CH_2$  and n is 2.
18. A compound according to claim 1 or claim 2 wherein  $R^1\text{-A(E)-NR}^2R^3$  is a group selected from the groups A1 to A11 set out in Table 1 herein.
19. A compound according to claim 18 wherein  $R^1\text{-A(E)-NR}^2R^3$  is selected from groups A1, A2, A3 and A10 in Table 1.
- 20 20. A compound according to claim 19 wherein  $R^1\text{-A(E)-NR}^2R^3$  is the group A10 in Table 1.
21. A compound according to any one of the preceding claims wherein E is a monocyclic group.

22. A compound according to any one of the preceding claims wherein E is an aryl or heteroaryl group.
23. A compound according to claim 22 wherein E is selected from optionally substituted phenyl, thiophene, furan, pyrimidine and pyridine groups.
- 5 24. A compound according to claim 23 wherein E is a phenyl group.
25. A compound according to any one of claims 1 to 21 wherein E is a non-aromatic monocyclic group selected from cycloalkanes such as cyclohexane and cyclopentane, and nitrogen-containing rings such as piperazine and piperazone.
- 10 26. A compound according to any one of the preceding claims wherein the group A and the pyrazole group are attached to the group E in a *meta* or *para* relative orientation; i.e. A and the pyrazole group are not attached to adjacent ring members of the group E.
27. A compound according to claim 26 wherein E is selected from 1,4-phenylene, 1,3-phenylene, 2,5-pyridylene and 2,4-pyridylene, 1,4-piperazinyl, and 1,4-piperazonyl.
- 15 28. A compound according to any one of the preceding claims wherein E is unsubstituted or has up to 4 substituents R<sup>8</sup> selected from hydroxy, oxo (when E is non-aromatic), chlorine, bromine, trifluoromethyl, cyano, C<sub>1-4</sub> hydrocarbyloxy and C<sub>1-4</sub> hydrocarbyl optionally substituted by C<sub>1-2</sub> alkoxy or hydroxy.
- 20 29. A compound according to claim 28 wherein E has 0-3 substituents, more preferably 0-2 substituents, for example 0 or 1 substituent.
30. A compound according to claim 29 wherein E is unsubstituted.
- 25 31. A compound according to any one of the preceding claims wherein the group E is an aryl or heteroaryl group having five or six members and

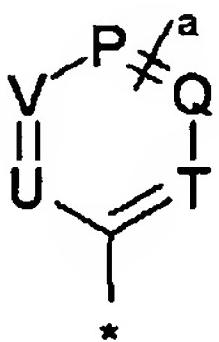
containing up to three heteroatoms selected from O, N and S, the group E being represented by the formula:



- 5 where \* denotes the point of attachment to the pyrazole group, and "a" denotes the attachment of the group A;
- 10 r is 0, 1 or 2;
- 15 U is selected from N and CR<sup>12a</sup>; and  
V is selected from N and CR<sup>12b</sup>; where R<sup>12a</sup> and R<sup>12b</sup> are the same or different and each is hydrogen or a substituent containing up to ten atoms selected from C, N, O, F, Cl and S provided that the total number of non-hydrogen atoms present in R<sup>12a</sup> and R<sup>12b</sup> together does not exceed ten; or R<sup>12a</sup> and R<sup>12b</sup> together with the carbon atoms to which they are attached form an unsubstituted five or six membered saturated or unsaturated ring containing up to two heteroatoms selected from O and N; and
- 20 R<sup>10</sup> is selected from halogen, hydroxy, trifluoromethyl, cyano, nitro, carboxy, amino, mono- or di-C<sub>1-4</sub> hydrocarbyl amino, carbocyclic and heterocyclic groups having from 3 to 12 ring members; a group R<sup>a</sup>-R<sup>b</sup> wherein R<sup>a</sup> is a bond, O, CO, X<sup>1</sup>C(X<sup>2</sup>), C(X<sup>2</sup>)X<sup>1</sup>, X<sup>1</sup>C(X<sup>2</sup>)X<sup>1</sup>, S, SO, SO<sub>2</sub>, NR<sup>c</sup>, SO<sub>2</sub>NR<sup>c</sup> or NR<sup>c</sup>SO<sub>2</sub>; and R<sup>b</sup> is selected from hydrogen, carbocyclic and heterocyclic groups having from 3 to 12 ring members, and a C<sub>1-8</sub> hydrocarbyl group optionally substituted by one or more substituents selected from hydroxy, oxo, halogen, cyano, nitro, carboxy, amino, mono- or di-C<sub>1-4</sub> hydrocarbyl amino, carbocyclic and heterocyclic groups having from 3 to 12 ring members and wherein one or more carbon atoms of the C<sub>1-8</sub> hydrocarbyl group may optionally be replaced by O, S, SO, SO<sub>2</sub>, NR<sup>c</sup>, X<sup>1</sup>C(X<sup>2</sup>), C(X<sup>2</sup>)X<sup>1</sup> or X<sup>1</sup>C(X<sup>2</sup>)X<sup>1</sup>;
- 25 R<sup>c</sup> is selected from hydrogen and C<sub>1-4</sub> hydrocarbyl; and

$X^1$  is O, S or NR<sup>c</sup> and  $X^2$  is =O, =S or =NR<sup>c</sup>.

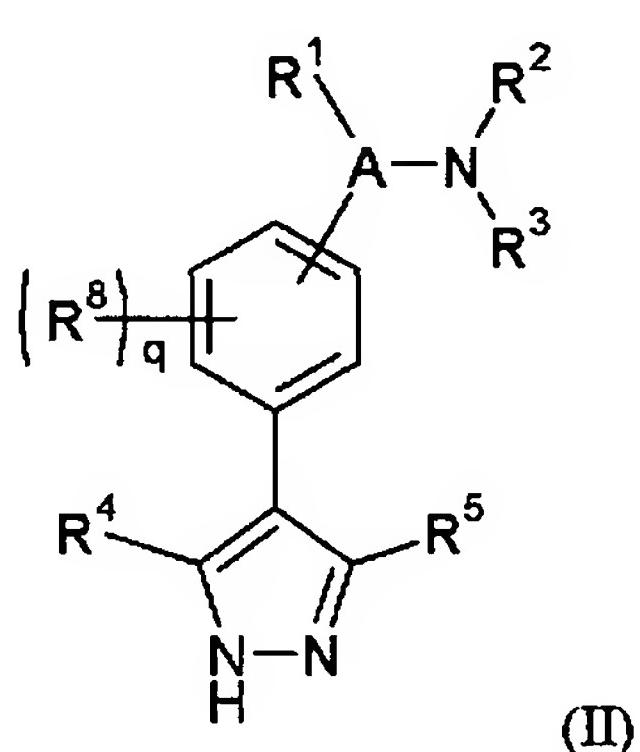
32. A compound according to claim 31 wherein E is represented by the formula:



where P, Q and T are the same or different and are selected from N, CH and NCR<sup>10</sup>, provided that the group A is attached to a carbon atom.

33. A compound according to claim 32 wherein the group E is selected from groups B1 to B13 in Table 2.

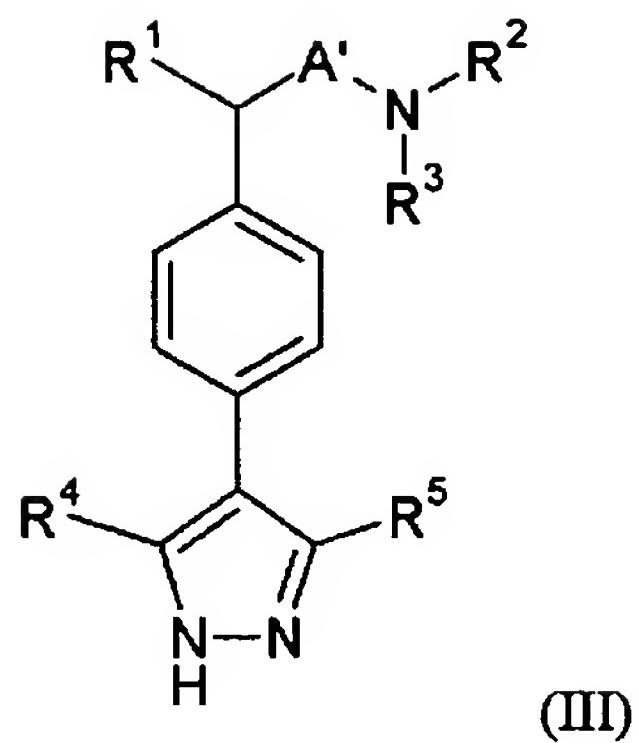
34. A compound according to claim 24 having the formula (II):



wherein the group A is attached to the *meta* or *para* position of the benzene ring and q is 0-4.

35. A compound according to claim 34 wherein q is 0, 1 or 2, preferably 0 or 1 and most preferably 0.

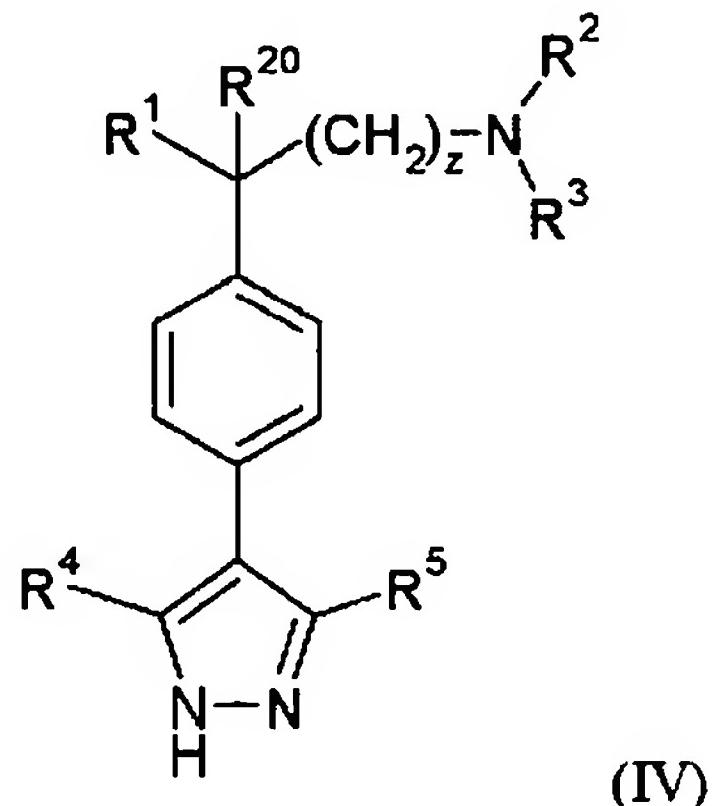
36. A compound according to claim 24 having the formula (III):



(III)

wherein A' is the residue of the group A and R<sup>1</sup> to R<sup>5</sup> are as defined in any one of the preceding claims.

37. A compound according to claim 36 having the formula (IV):

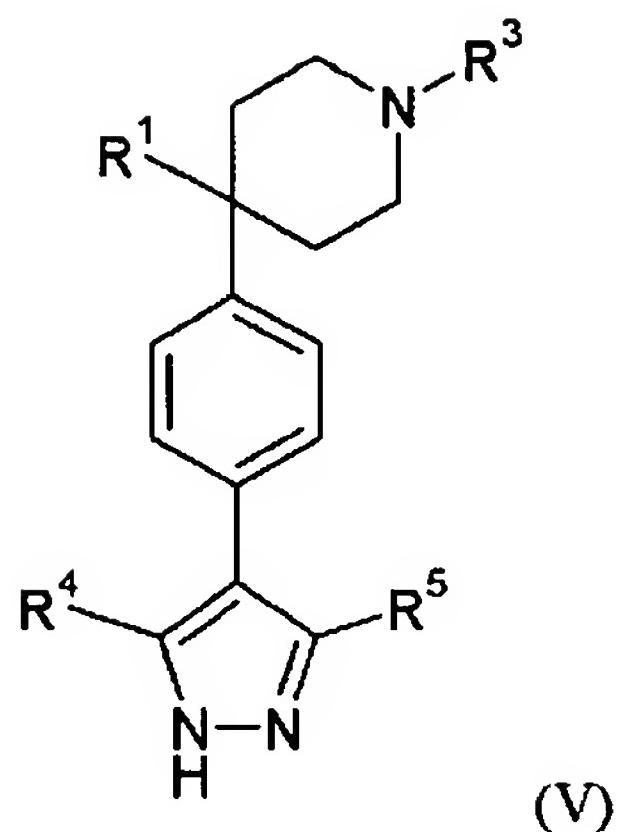


(IV)

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wherein z is 0, 1 or 2, R<sup>20</sup> is selected from hydrogen, methyl, hydroxy and fluorine, provided that when z is 0, R<sup>20</sup> is other than hydroxy.

38. A compound according to claim 36 having the formula (V):



39. A compound according to claim 38 wherein R<sup>3</sup> is selected from hydrogen and C<sub>1-4</sub> hydrocarbyl, for example C<sub>1-4</sub> alkyl such as methyl, ethyl and isopropyl, and more preferably R<sup>3</sup> is hydrogen.
- 5    37. A compound according to any one of the preceding claims wherein R<sup>1</sup> is selected from phenyl, naphthyl, thienyl, furan, pyrimidine and pyridine.
38. A compound according to claim 34 wherein R<sup>1</sup> is phenyl.
39. A compound according to any one of the preceding claims wherein R<sup>1</sup> is unsubstituted or bears one or more substituents selected from hydroxy; C<sub>1-4</sub> acyloxy; fluorine; chlorine; bromine; trifluoromethyl; cyano; CONH<sub>2</sub>; nitro; C<sub>1-4</sub> hydrocarbyloxy and C<sub>1-4</sub> hydrocarbyl each optionally substituted by C<sub>1-2</sub> alkoxy, carboxy or hydroxy; C<sub>1-4</sub> acylamino; benzoylamino; pyrrolidinocarbonyl; piperidinocarbonyl; morpholinocarbonyl; piperazinocarbonyl; five and six membered heteroaryl and heteroaryloxy groups containing one or two heteroatoms selected from N, O and S; phenyl; phenyl-C<sub>1-4</sub> alkyl; phenyl-C<sub>1-4</sub> alkoxy; heteroaryl-C<sub>1-4</sub> alkyl; heteroaryl-C<sub>1-4</sub> alkoxy and phenoxy, wherein the heteroaryl, heteroaryloxy, phenyl, phenyl-C<sub>1-4</sub> alkyl, phenyl-C<sub>1-4</sub> alkoxy, heteroaryl-C<sub>1-4</sub> alkyl, heteroaryl-C<sub>1-4</sub> alkoxy and phenoxy groups are each optionally substituted with 1, 2 or 3 substituents selected from C<sub>1-2</sub> acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, CONH<sub>2</sub>, C<sub>1-2</sub> hydrocarbyloxy and C<sub>1-2</sub> hydrocarbyl each optionally substituted by methoxy or hydroxy.

40. A compound according to claim 39 wherein R<sup>1</sup> is unsubstituted or is substituted by up to 5 substituents selected from hydroxy; C<sub>1-4</sub> acyloxy, fluorine; chlorine; bromine; trifluoromethyl; cyano; C<sub>1-4</sub> hydrocarbyloxy and C<sub>1-4</sub> hydrocarbyl optionally substituted by C<sub>1-2</sub> alkoxy or hydroxy; and five membered heteroaryl groups containing one or two heteroatoms selected from N, O and S, the heteroaryl groups being optionally substituted by one or more C<sub>1-4</sub> alkyl substituents.
- 5
41. A compound according to claim 40 wherein R<sup>1</sup> is unsubstituted or is substituted by up to 5 substituents selected from hydroxy, C<sub>1-4</sub> acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C<sub>1-4</sub> hydrocarbyloxy and C<sub>1-4</sub> hydrocarbyl optionally substituted by C<sub>1-2</sub> alkoxy or hydroxy.
- 10
42. A compound according to claim 40 or claim 41 wherein R<sup>1</sup> is unsubstituted or is substituted by 0, 1, 2, 3 or 4 substituents, preferably 0, 1, 2 or 3, and more preferably 0, 1 or 2 substituents.
- 15 43. A compound according to claim 42 wherein the group R<sup>1</sup> has one or two substituents selected from fluorine, chlorine, trifluoromethyl, methyl and methoxy.
44. A compound according to claim 43 wherein R<sup>1</sup> is a mono-chlorophenyl or dichlorophenyl group.
- 20 45. A compound according to any one of the preceding claims wherein R<sup>4</sup> is selected from hydrogen and methyl.
46. A compound according to any one of the preceding claims wherein R<sup>5</sup> is selected from hydrogen, fluorine, chlorine, bromine, methyl, ethyl, hydroxyethyl, methoxymethyl, cyano, CF<sub>3</sub>, NH<sub>2</sub>, NHCOR<sup>9b</sup> and NHCONHR<sup>9b</sup> where R<sup>9b</sup> is phenyl or benzyl optionally substituted by hydroxy, C<sub>1-4</sub> acyloxy, fluorine, chlorine, bromine, trifluoromethyl, cyano, C<sub>1-4</sub> hydrocarbyloxy and C<sub>1-4</sub> hydrocarbyl optionally substituted by C<sub>1-2</sub> alkoxy or hydroxy.
- 25

47. A compound according to any one of the preceding claims wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen, C<sub>1-4</sub> hydrocarbyl and C<sub>1-4</sub> acyl.
48. A compound according to claim 47 wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from hydrogen and methyl.  
5
49. A compound according to claim 48 wherein R<sup>2</sup> and R<sup>3</sup> are both hydrogen.
50. A compound according to any one of the preceding claims having a molecular weight no greater than 1000, more usually less than 750, for example less than 700, or less than 650, or less than 600, or less than 550.  
10 51. A compound according to claim 50 wherein the molecular weight is less than 525 and, for example, is 500 or less.
52. A compound of the formula (I) which is selected from the group consisting of:  
15 2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;  
3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propionitrile;  
2-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-2-phenyl-ethylamine;  
2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;  
2-[3-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-1-phenyl-ethylamine;  
20 3-phenyl-2-[3-(1H-pyrazol-4-yl)-phenyl]-propylamine;  
3-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;  
{3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-  
amine;  
25 {3-(3,4-difluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-  
amine;  
3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;  
3-(4-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;

- 3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;  
 4-(4-chloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;  
 4-(4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;  
 4-(4-chloro-phenyl)-1-methyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;  
 5  
 4-phenyl-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;  
 4-[4-(3,5-dimethyl-1H-pyrazol-4-yl)-phenyl]-4-phenyl-piperidine;  
 dimethyl-{3-[4-(1H-pyrazol-4-yl)-phenyl]-3-pyridin-2-yl-propyl}-amine;  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-  
 amine;  
 10  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine  
 (R);  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine  
 (S);  
 15  
 4-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-morpholine;  
 4-{4-[1-(4-chloro-phenyl)-2-pyrrolidin-1-yl-ethyl]-phenyl}-1H-pyrazole;  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-isopropyl-  
 amine;  
 dimethyl-{2-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;  
 20  
 {2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-dimethyl-amine;  
 {2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;  
 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (R);  
 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine (S);  
 2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;  
 25  
 1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperazine;  
 1-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-piperidine;  
 4-{4-[2-azetidin-1-yl-1-(4-chloro-phenyl)-ethyl]-phenyl}-1H-pyrazole;  
 1-phenyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;  
 2-(4-chloro-phenyl)-N-methyl-2-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;  
 30  
 N-methyl-2,2-bis-[4-(1H-pyrazol-4-yl)-phenyl]-acetamide;  
 {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;

- {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-ethyl-amine;  
 4-{4-[1-(4-chloro-phenyl)-2-imidazol-1-yl-ethyl]-phenyl}-1H-pyrazole;  
 methyl-{2-(4-phenoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
- 5 {2-(4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;  
 methyl-{2-[4-(pyrazin-2-yloxy)-phenyl]-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;  
 methyl-{2-phenoxy-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-amine;
- 10 2-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methoxy}-ethylamine;  
 4-{4-[1-(4-chloro-phenyl)-3-pyrrolidin-1-yl-propyl]-phenyl}-1H-pyrazole;  
 4-{4-[3-azetidin-1-yl-1-(4-chloro-phenyl)-propyl]-phenyl}-1H-pyrazole;  
 methyl-{3-naphthalen-2-yl-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-amine;  
 dimethyl-(4-{3-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-phenyl)-amine;
- 15 {3-(4-fluoro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;  
 4-{4-[4-(4-chloro-phenyl)-piperidin-4-yl]-phenyl}-1H-pyrazole-3-carbonitrile;
- 20 3-(4-phenoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;  
 1-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;  
 1-methyl-4-{phenyl-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-[1,4]diazepane;  
 {3-(3-chloro-phenoxy)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;
- 25 methyl-{2-phenyl-2-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-ethyl}-amine;  
 4-{4-[1-(4-chloro-phenyl)-3-imidazol-1-yl-propyl]-phenyl}-1H-pyrazole;  
 4-[4-(3-imidazol-1-yl-1-phenoxy-propyl)-phenyl]-1H-pyrazole;  
 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenol;
- 30 1-{(4-chloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;  
 {2-(4-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;  
 {2-(3-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;

- 4-[4-(2-methoxy-ethoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 4-[4-(3-methoxy-propoxy)-phenyl]-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 5      3-(3,4-dichloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propionamide;
- 2-(4-{2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-phenoxy)-isonicotinamide;
- {2-(3-chloro-phenoxy)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-methyl-amine;
- 10     3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
- 2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
- 3-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-propan-1-ol;
- 15     2-{2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamino}-ethanol;
- {2-(4-Chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-cyclopropylmethyl-amine;
- 20     methyl-[2-[4-(1H-pyrazol-4-yl)-phenyl]-2-(4-pyridin-3-yl-phenyl)-ethyl]-amine;
- 4-{3-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-phenol;
- 3-(4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
- 4-(4-chloro-phenyl)-4-[4-(3-methyl-1H-pyrazol-4-yl)-phenyl]-piperidine;
- 25     2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-morpholine;
- (4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenoxy)-acetic acid;
- (4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-phenoxy)-acetic acid, methyl ester;
- 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-benzonitrile;
- 30     {2-(4-chloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-methyl-amine;

- 1-(4-chloro-phenyl)-2-methylamino-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
- 2-amino-1-(4-chloro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
- 4-(3,4-dichloro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 5 4-(3-chloro-4-methoxy-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 4-(4-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 4-{4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidin-4-yl}-benzoic acid;
- 4-[4-(1H-pyrazol-4-yl)-phenyl]-1,2,3,4,5,6-hexahydro-[4,4']bipyridinyl;
- 3-(3-chloro-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propylamine;
- 10 2-methylamino-1-(4-nitro-phenyl)-1-[4-(1H-pyrazol-4-yl)-phenyl]-ethanol;
- 2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
- 2-(4-chloro-phenyl)-2-fluoro-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
- 3-(3,4-dichloro-phenyl)-3-[6-(1H-pyrazol-4-yl)-pyridin-3-yl]-propylamine;
- 2-(4-chloro-3-fluoro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
- 15 4-(2-chloro-3-fluoro-phenyl)-4-[4-(1H-pyrazol-4-yl)-phenyl]-piperidine;
- 1-{(3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
- 2-(3,4-dichloro-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethylamine;
- {2-(3-chloro-4-methoxy-phenyl)-2-[4-(1H-pyrazol-4-yl)-phenyl]-ethyl}-
- methyl-amine;
- 20 4-{4-[2-azetidin-1-yl-1-(4-chloro-phenoxy)-ethyl]-phenyl}-1H-pyrazole;
- 3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-
- propylamine;
- {3-(3-chloro-4-methoxy-phenyl)-3-[4-(1H-pyrazol-4-yl)-phenyl]-propyl}-
- methyl-amine;
- 25 1-{(3,4-dichloro-phenyl)-[4-(1H-pyrazol-4-yl)-phenyl]-methyl}-piperazine;
- and
- C-(4-chloro-phenyl)-C-[4-(1H-pyrazol-4-yl)-phenyl]-methylamine;
- and salts, solvates, tautomers and N-oxides thereof.
53. A compound according to any one of the preceding claims in the form of a
- 30 salt, solvate (such as a hydrate), ester or N-oxide.

54. A compound as defined in any one of claims 1 to 53 for use in the prophylaxis or treatment of a disease state or condition mediated by protein kinase B.
55. The use of a compound as defined in any one of claims 1 to 53 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase B.
56. A method for the prophylaxis or treatment of a disease state or condition mediated by protein kinase B, which method comprises administering to a subject in need thereof a compound as defined in any one of claims 1 to 53.
- 10 57. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, which method comprises administering to the mammal a compound as defined in any one of claims 1 to 53 in an amount effective in inhibiting abnormal cell growth.
- 15 58. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 53 in an amount effective to inhibit PKB activity.
- 20 59. A method of inhibiting a protein kinase B, which method comprises contacting the kinase with a kinase-inhibiting compound as defined in any one of claims 1 to 53.
60. A method of modulating a cellular process by inhibiting the activity of a protein kinase B using a compound as defined in any one of claims 1 to 53.
- 25 61. A method for treating an immune disorder in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 44 in an amount effective to inhibit PKB activity.

62. A compound as defined in any one of claims 1 to 53 for use in the prophylaxis or treatment of a disease state or condition mediated by protein kinase A.
  63. The use of a compound as defined in any one of claims 1 to 53 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition mediated by protein kinase A.
  64. The use of a compound of the formula (I) as defined in any one of claims 1 to 53 for the manufacture of a medicament for the prophylaxis or treatment of a disease state or condition arising from abnormal cell growth.
- 10 65. The use of a compound of the formula (I) as defined in any one of claims 1 to 53 for the manufacture of a medicament for the prophylaxis or treatment of a disease in which there is a disorder of proliferation, apoptosis or differentiation.
- 15 66. A method for the prophylaxis or treatment of a disease state or condition mediated by protein kinase A, which method comprises administering to a subject in need thereof a compound as defined in any one of claims 1 to 53.
- 20 67. A method for treating a disease or condition comprising or arising from abnormal cell growth in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 53 in an amount effective to inhibit PKA.
68. A method of inhibiting a protein kinase A, which method comprises contacting the kinase with a kinase-inhibiting compound as defined in any one of claims 1 to 53.
- 25 69. A method of modulating a cellular process by inhibiting the activity of a protein kinase A using a compound as defined in any one of claims 1 to 44.

70. A method for treating an immune disorder in a mammal, the method comprising administering to the mammal a compound as defined in any one of claims 1 to 53 in an amount effective to inhibit PKA activity.

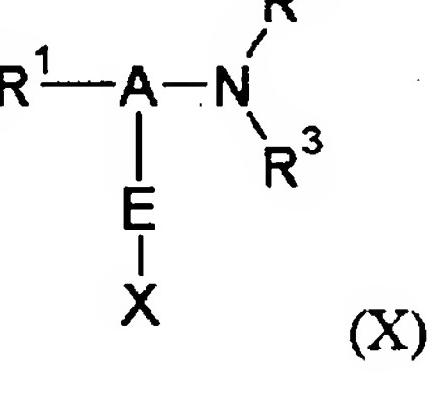
71. A method of inducing apoptosis in a cancer cell, which method comprises contacting the cancer cell with a compound as defined in any one of claims 1 to 53.

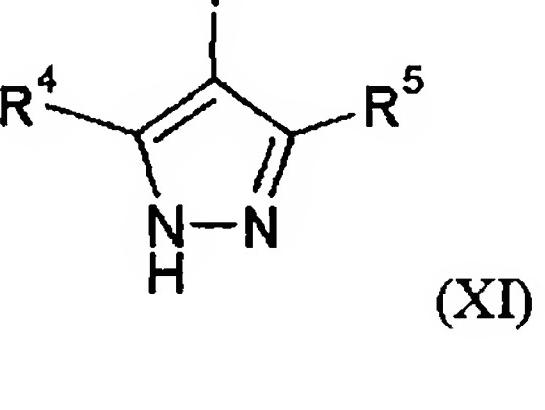
5 72. A pharmaceutical composition comprising a novel compound as defined in any one of claims 1 to 44 and a pharmaceutically acceptable carrier.

73. A compound as defined in any one of claims 1 to 53 for use in medicine.

10 74. A process for the preparation of a compound of the formula (I) as defined in any one of claims 1 to 53, which process comprises:

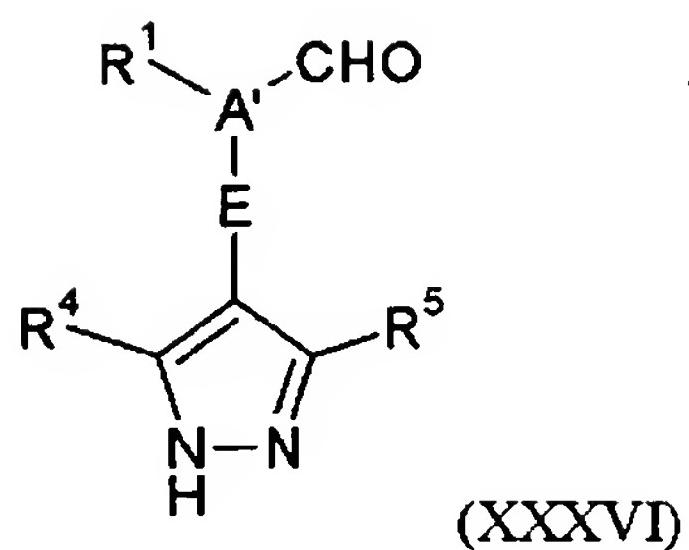
(a) the reaction of a compound of the formula (X) with a compound of the formula (XI) or an N-protected derivative thereof:


(X)


(XI)

wherein A, E, and R<sup>1</sup> to R<sup>5</sup> are as defined in any one of the preceding claims, one of the groups X and Y is selected from chlorine, bromine, iodine and trifluoromethanesulphonate, and the other one of the groups X and Y is a boronate residue, for example a boronate ester or boronic acid residue, in the presence of a palladium catalyst and a base;

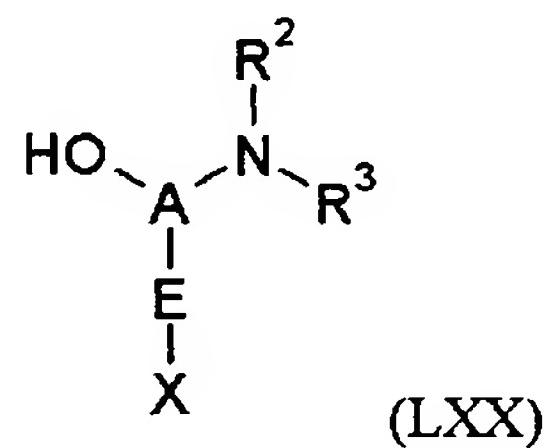
15 (b) the reductive amination of a compound of the formula (XXXVI):



with  $\text{HNR}^2\text{R}^3$  in the presence of a reducing agent; and optionally

- (c) the conversion of one compound of the formula (I) into another compound of the formula (I).

5 75. A process according to claim 74, variant (a) wherein the compound of the formula (X) is prepared by the reaction of a compound of the formula (LXX):



with a compound of the formula  $\text{R}^1\text{-H}$  under Friedel Crafts alkylation conditions, for example in the presence of an aluminium halide (e.g.  $\text{AlCl}_3$ ).